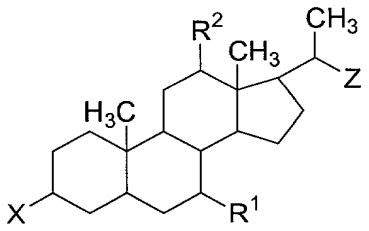
WHAT IS CLAIMED IS:

1. A compound of formula (I):



(I)

wherein:

R^1 and R^2 are independently hydrogen or hydroxy;

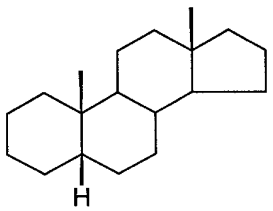
X is selected from the group consisting of hydroxy and Q^x-G- where:

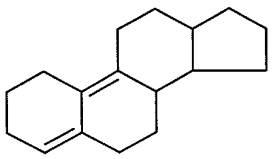
G is -O-, -C(O)O- or -NH-;

Q^x is a group derived from a linear oligopeptide comprising a first moiety D and further comprising from 1 to 3 amino acids, and wherein said group is cleavable from (I) under physiological conditions;

D is a drug containing at least one carboxylic acid group and at least one moiety selected from the group consisting of a primary amino group, a secondary amino group or a hydroxyl group, with the provisos that the drug is not a GABA analog; L-Dopa, an L-aromatic amino acid decarboxylase inhibitor, a catechol O-methyl transferase inhibitor or derivatives thereof; a naturally occurring α-amino acid or an ester or carboxamide of a naturally occurring α-amino acid; a polypeptide derived from a linear oligopeptide containing at least 3 α-amino acids; an oligonucleotide; a cyclophane derivative, a diethylenetriaminopentaacetate derivative, or paramagnetic ion chelates thereof; 5-de-O-methylsporaricin; a bis-(2-chloroethyl)amine containing nitrogen

mustard; an HMG-CoA reductase inhibitor; a proline hydroxylase inhibitor; or a steroid containing the carbon substructures of the following formulae:





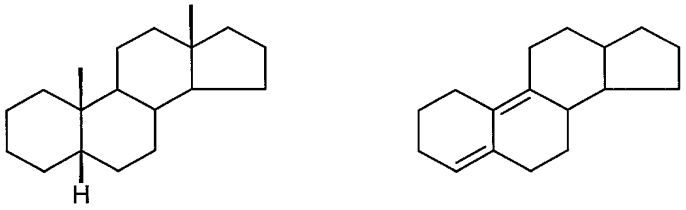
Z is selected from the group consisting of:

(i) a substituted alkyl group containing a moiety which is negatively charged at physiological pH, which moiety is selected from the group consisting of –COOH, $-SO_3H$, $-SO_2H$, $-P(O)(OR^6)(OH)$, $-OP(O)(OR^6)(OH)$, $-OSO_3H$ and the like, and where R^6 is selected from the group consisting of alkyl, substituted alkyl, aryl and substituted aryl; and

(ii) a group of the formula $-M-Q^{x'}$, wherein M is selected from the group consisting of $–CH_2OC(O)-$ and $-CH_2CH_2C(O)-$, and wherein $Q^{x'}$ is a group derived from a linear oligopeptide comprising a first moiety D' and further comprising from 1 to 3 amino acids, and wherein said group is cleavable under physiological conditions;

D' is a drug containing at least one carboxylic acid group and at least one moiety selected from the group consisting of a primary amino group, a secondary amino group or a hydroxyl group, with the provisos that the drug is not a GABA analog; L-Dopa, an L-aromatic amino acid decarboxylase inhibitor, a catechol O-methyl transferase inhibitor or derivatives thereof; a naturally occurring α-amino acid or an ester or carboxamide of a naturally occurring α-amino acid; a polypeptide derived from a linear oligopeptide containing at least 3 α-amino acids; an oligonucleotide; a cyclophane derivative, a diethylenetriaminopentaacetate derivative, or paramagnetic ion chelates thereof; 5-de-O-methylsporaricin; a bis-(2-chloroethyl)amine containing nitrogen

mustard; an HMG-CoA reductase inhibitor; a proline hydroxylase inhibitor; or a steroid containing the carbon substructures of the following formulae:



or a pharmaceutically acceptable salt thereof;

provided that when X is hydroxy, then Z is a group of formula -M-$Q^{x'}$.

2. The compound according to Claim 1 wherein X is Q^x-G-, and wherein Q^x is of one of the following two structures:

$$-I_i-J_j-D-K_k-R^{40}$$

or

$$-T_t-D-U_u-V_v-R^{41}$$

wherein

I is $-[NR^{50}-(CR^{51}R^{52})_a-(CR^{53}R^{54})_b-C(O)]-$;

J is $-[NR^{55}-(CR^{56}R^{57})_c-(CR^{58}R^{59})_d-C(O)]-$;

K is $-[NR^{60}-(CR^{61}R^{62})_e-(CR^{63}R^{64})_f-C(O)]-$;

T is $-[C(O)-(CR^{65}R^{66})_g-(CR^{67}R^{68})_h-NR^{69}]-$;

U is $-[C(O)-(CR^{70}R^{71})_m-(CR^{72}R^{73})_n-NR^{74}]-$;

V is $-[C(O)-(CR^{75}R^{76})_o-(CR^{77}R^{78})_p-NR^{79}]-$;

R^{40} is -OH or $-OR^{17}$;

R^{41} is –H, $-C(O)R^{17}$, or $-C(O)OR^{17}$;

R^{17} is alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

a, b, c, d, e, f, g, h, m, n, o and p are independently 0 or 1, where at least one of a and b is 1; at least one of c and d is 1; at least one of e and f is 1; at least one of g and h is 1; at least one of m and n is 1; at least one of o and p is 1;

i, j, k, t, u and v are independently 0 or 1, where at least one of i, j and k is 1; at least one of t, u and v is 1;

R^{50} is hydrogen or R^{50} and R^{51} together with the atoms to which they are attached form a heterocyclyl ring;

R^{51} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{51} and R^{52} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R^{51} and R^{53} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{52} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{53} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{53} and R^{54} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{54} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl,

substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{55} is hydrogen or R^{55} and R^{56}, together with the atoms to which they are attached form a heterocyclyl ring;

R^{56} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{56} and R^{57} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R^{56} and R^{58} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{57} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{58} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{58} and R^{59} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{59} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{60} is hydrogen or R^{60} and R^{61}, together with the atoms to which they are attached form a heterocyclyl ring;

R^{61} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{61} and R^{62} together with the atoms to which they are attached form a

cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R^{61} and R^{63} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{62} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{63} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{63} and R^{64} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{64} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{65} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{65} and R^{66} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R^{65} and R^{67} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{66} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{67} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl,

substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{67} and R^{68} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{68} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{69} is hydrogen or R^{69} and R^{68} together with the atoms to which they are attached form a heterocyclyl ring;

R^{70} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{70} and R^{71} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R^{70} and R^{72} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{71} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{72} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{72} and R^{73} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{73} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{74} is hydrogen or R^{74} and R^{73} together with the atoms to which they are attached form a heterocyclyl ring;

R^{75} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{75} and R^{76} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R^{75} and R^{77} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{76} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{77} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{77} and R^{78} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{78} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl; and

R^{79} is hydrogen or R^{79} and R^{78} together with the atoms to which they are attached form a heterocyclyl ring;

wherein the bond between J_j or U_u and D and any amino acid to which it is attached is an amide or ester bond.

3. The compound according to Claim 1, wherein Z is a substituted alkyl group of the formula –M-$Q^{x'}$, and wherein $Q^{x'}$ is of the following structure:

$$-I'_{i'}-J'_{j'}-D'-K'_{k'}-R^{40'}$$

wherein

I' is $-[NR^{50'}-(CR^{51'}R^{52'})_{a'}-(CR^{53'}R^{54'})_{b'}-C(O)]-$;

J' is $-[NR^{55'}-(CR^{56'}R^{57'})_{c'}-(CR^{58'}R^{59'})_{d'}-C(O)]-$;

K' is $-[NR^{60'}-(CR^{61'}R^{62'})_{e'}-(CR^{63'}R^{64'})_{f'}-C(O)]-$;

$R^{40'}$ is -OH or $-OR^{17'}$;

$R^{17'}$ is alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

a', b', c', d', e' and f' are independently 0 or 1, wherein at least one of a' and b' is 1; at least one of c' and d' is 1; at least one of e' and f' is 1;

i', j' and k' are independently 0 or 1, wherein at least one of i', j' and k' is 1;

$R^{50'}$ is hydrogen or $R^{50'}$ and $R^{51'}$ together with the atoms to which they are attached form a heterocyclyl ring;

$R^{51'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or $R^{51'}$ and $R^{52'}$ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or $R^{51'}$ and $R^{53'}$ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

$R^{52'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl,

substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

$R^{53'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or $R^{53'}$ and $R^{54'}$ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

$R^{54'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

$R^{55'}$ is hydrogen or $R^{55'}$ and $R^{56'}$, together with the atoms to which they are attached form a heterocyclyl ring;

$R^{56'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or $R^{56'}$ and $R^{57'}$ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or $R^{56'}$ and $R^{58'}$ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

$R^{57'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

$R^{58'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or $R^{58'}$ and $R^{59'}$ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

$R^{59'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

$R^{60'}$ is hydrogen or $R^{60'}$ and $R^{61'}$, together with the atoms to which they are attached form a heterocyclyl ring;

$R^{61'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or $R^{61'}$ and $R^{62'}$ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or $R^{61'}$ and $R^{63'}$ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

$R^{62'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

$R^{63'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or $R^{63'}$ and $R^{64'}$ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

$R^{64'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

wherein the bond between $J'_{j'}$ and D' and any amino acid to which it is attached is an amide or ester bond.

4. The compound according to Claim 1, wherein R^1 and R^2 are both α-OH; R^1 is β-OH and R^2 is hydrogen; R^1 is α-OH and R^2 is hydrogen; R^1 is hydrogen and R^2 is α-OH; R^1 is β-OH and R^2 is α-OH; or R^1 and R^2 are both hydrogen.

5. The compound according to Claim 2, wherein I, J, K, T, U and V are moieties derived from naturally occurring α-amino acids.

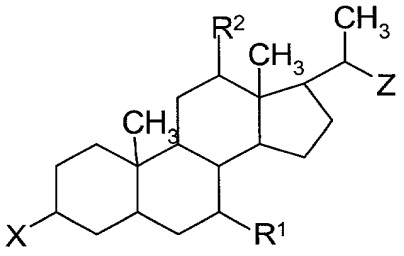
6. The compound according to Claim 3, wherein I', J' and K' are moieties derived from naturally occurring α-amino acids.

7. The compound according to Claim 5, wherein b, c, d, e, f, g, h, j, k, m, n, o and p are 0, and wherein a and i are 1.

8. The compound according to Claim 6, wherein b', c', d', e', f', g', h', j', k', m', n', o' and p' are 0, and wherein a' and i' are 1.

9.The compound according to Claim 1, wherein X is hydroxy, and wherein $Q^{x'}$ is $-I'_{i'}-J'_{j'}-D'-K'_{k'}-R^{40'}$.

10. A compound of formula (II):



(II)

wherein:

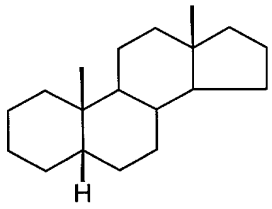
R^1 and R^2 are independently hydrogen or hydroxy;

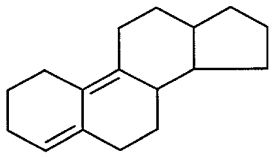
X is selected from the group consisting of hydroxy and P^x-G- where:

G is -O-, -C(O)O- or -NH-;

P^x is a group derived from a linear oligopeptide comprising a first moiety D'' and further comprising from 1 to 3 amino acids, and wherein said group is cleavable from (II) under physiological conditions;

D'' is a drug containing at least one moiety selected from the group consisting of a primary amino group, a secondary amino group or a hydroxyl group, with the provisos that the drug is not a GABA analog; L-Dopa, an L-aromatic amino acid decarboxylase inhibitor, a catechol O-methyl transferase inhibitor or derivatives thereof; a naturally occurring α-amino acid or an ester or carboxamide of a naturally occurring α-amino acid; a polypeptide derived from a linear oligopeptide containing at least 3 α-amino acids; an oligonucleotide; a cyclophane derivative, a diethylenetriaminopentaacetate derivative, or paramagnetic ion chelates thereof; histamine or tyramine; 5-de-O-methylsporaricin; a bis-(2-chloroethyl)amine containing nitrogen mustard; an HMG-CoA reductase inhibitor; a proline hydroxylase inhibitor; fluvalinate; or a steroid containing the carbon substructures of the following formulae:





Z is selected from the group consisting of:

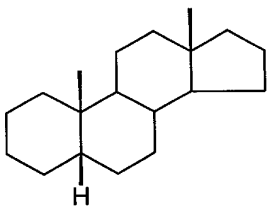
(i) a substituted alkyl group containing a moiety which is negatively charged at physiological pH, which moiety is selected from the group consisting of –COOH, $-SO_3H$, $-SO_2H$, $-P(O)(OR^6)(OH)$, $-OP(O)(OR^6)(OH)$, $-OSO_3H$ and

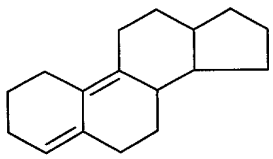
the like, and where R^6 is selected from the group consisting of alkyl, substituted alkyl, aryl and substituted aryl;

and

(ii) a group of the formula -M-$P^{x'}$, wherein M is selected from the group consisting of $-CH_2OC(O)-$ and $-CH_2CH_2C(O)-$, and wherein $P^{x'}$ is a group derived from a linear oligopeptide comprising a first moiety D''' and further comprising from 1 to 3 amino acids, and wherein said group is cleavable under physiological conditions;

D''' is a drug containing at least one moiety selected from the group consisting of a primary amino group, a secondary amino group or a hydroxyl group, with the provisos that the drug is not a GABA analog; L-Dopa, an L-aromatic amino acid decarboxylase inhibitor, a catechol O-methyl transferase inhibitor or derivatives thereof; a naturally occurring α-amino acid or an ester or carboxamide of a naturally occurring α-amino acid; a polypeptide derived from a linear oligopeptide containing at least 3 α-amino acids; an oligonucleotide; a cyclophane derivative, a diethylenetriaminopentaacetate derivative, or paramagnetic ion chelates thereof; histamine or tyramine; 5-de-O-methylsporaricin; a bis-(2-chloroethyl)amine containing nitrogen mustard; an HMG-CoA reductase inhibitor; a proline hydroxylase inhibitor; fluvalinate; or a steroid containing the carbon substructures of the following formulae:





or a pharmaceutically acceptable salt thereof;

provided that when X is hydroxy, then Z is a group of formula -M-$P^{x'}$.

11. The compound according to Claim 10 wherein X is P^x-G-, G is -C(O)O-, and wherein P^x is of the following structure:

$$-I_i-J_j-K_k-D''$$

wherein

I is $-[NR^{50}-(CR^{51}R^{52})_a-(CR^{53}R^{54})_b-C(O)]-$;

J is $-[NR^{55}-(CR^{56}R^{57})_c-(CR^{58}R^{59})_d-C(O)]-$;

K is $-[NR^{60}-(CR^{61}R^{62})_e-(CR^{63}R^{64})_f-C(O)]-$;

a, b, c, d, e and f are independently 0 or 1, where at least one of a and b is 1; at least one of c and d is 1; at least one of e and f is 1;

i, j and k are independently 0 or 1, where at least one of i, j and k is 1;

R^{50} is hydrogen or R^{50} and R^{51} together with the atoms to which they are attached form a heterocyclyl ring;

R^{51} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{51} and R^{52} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R^{51} and R^{53} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{52} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{53} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{53} and R^{54} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{54} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{55} is hydrogen or R^{55} and R^{56}, together with the atoms to which they are attached form a heterocyclyl ring;

R^{56} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{56} and R^{57} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R^{56} and R^{58} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{57} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{58} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{58} and R^{59} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{59} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{60} is hydrogen or R^{60} and R^{61}, together with the atoms to which they are attached form a heterocyclyl ring;

R^{61} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{61} and R^{62} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R^{61} and R^{63} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{62} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{63} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{63} and R^{64} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{64} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

wherein the bond between K_k and D'' and any amino acid to which it is attached is an amide or ester bond.

12. The compound according to Claim 10, wherein Z is a substituted alkyl group of the formula –M-$P^{x'}$, and wherein $P^{x'}$ is of the following structure:

$$-I'_{i'}-J'_{j'}-K'_{k'}-D'''$$

wherein

I' is $-[NR^{50'}-(CR^{51'}R^{52'})_{a'}-(CR^{53'}R^{54'})_{b'}-C(O)]-$;

J' is $-[NR^{55'}-(CR^{56'}R^{57'})_{c'}-(CR^{58'}R^{59'})_{d'}-C(O)]-$;

K' is $-[NR^{60'}-(CR^{61'}R^{62'})_{e'}-(CR^{63'}R^{64'})_{f'}-C(O)]-$;

a', b', c', d', e' and f' are independently 0 or 1, where at least one of a' and b' is 1; at least one of c' and d' is 1; at least one of e' and f' is 1;

i', j' and k' are independently 0 or 1, where at least one of i', j' and k' is 1;

$R^{50'}$ is hydrogen or $R^{50'}$ and $R^{51'}$ together with the atoms to which they are attached form a heterocyclyl ring;

$R^{51'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or $R^{51'}$ and $R^{52'}$ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or $R^{51'}$ and $R^{53'}$ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

$R^{52'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

$R^{53'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or $R^{53'}$ and $R^{54'}$ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

$R^{54'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

$R^{55'}$ is hydrogen or $R^{55'}$ and $R^{56'}$, together with the atoms to which they are attached form a heterocyclyl ring;

$R^{56'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or $R^{56'}$ and $R^{57'}$ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or $R^{56'}$ and $R^{58'}$ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

$R^{57'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

$R^{58'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or $R^{58'}$ and $R^{59'}$ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

$R^{59'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

$R^{60'}$ is hydrogen or $R^{60'}$ and $R^{61'}$, together with the atoms to which they are attached form a heterocyclyl ring;

$R^{61'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or $R^{61'}$ and $R^{62'}$ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring,

or $R^{61'}$ and $R^{63'}$ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

$R^{62'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

$R^{63'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or $R^{63'}$ and $R^{64'}$ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

$R^{64'}$ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

wherein the bond between $K'_{k'}$ and D''' and any amino acid to which it is attached is an amide or ester bond.

13. The compound according to Claim 10, wherein R^1 and R^2 are both α-OH; R^1 is β-OH and R^2 is hydrogen; R^1 is α-OH and R^2 is hydrogen; R^1 is hydrogen and R^2 is α-OH; R^1 is β-OH and R^2 is α-OH; or R^1 and R^2 are both hydrogen.

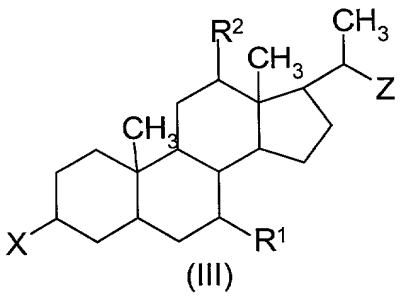
14. The compound according to Claim 11, wherein I, J and K are moieties derived from naturally occurring α-amino acids.

15. The compound according to Claim 12, wherein I', J' and K' are moieties derived from naturally occurring α-amino acids.

16. The compound according to Claim 14, wherein b, c, d, e, f, j and k are 0, and wherein a and i are 1.

17. The compound according to Claim 15, wherein b', c', d', e', f', j' and k' are 0, and wherein a' and i' 1.

18. A compound of formula (III):



(III)

wherein:

R^1 and R^2 are independently hydrogen or hydroxy;

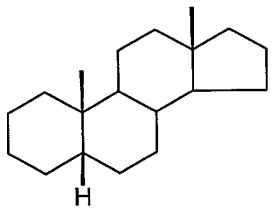
X is selected from the group consisting of hydroxy and S^x-G- where:

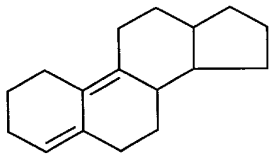
G is -O-, or -NH-;

S^x is a group derived from a linear oligopeptide comprising a first moiety D* and further comprising from 1 to 3 amino acids, and wherein said group is cleavable from (III) under physiological conditions;

D* is a drug containing at least one carboxylic acid group, with the provisos that the drug is not a GABA analog; L-Dopa, an L-aromatic amino acid decarboxylase inhibitor, a catechol O-methyl transferase inhibitor or derivatives thereof; a naturally occurring α-amino acid or an ester or carboxamide of a naturally occurring α-amino acid; a polypeptide derived from a linear oligopeptide containing at least 3 α-amino acids; an oligonucleotide; a cyclophane derivative, a diethylenetriaminopentaacetate derivative, or

paramagnetic ion chelates thereof; 5-de-O-methylsporaricin; a bis-(2-chloroethyl)amine containing nitrogen mustard; an HMG-CoA reductase inhibitor; a proline hydroxylase inhibitor; or a steroid containing the carbon substructures of the following formulae:





Z is selected from the group consisting of:

a substituted alkyl group containing a moiety which is negatively charged at physiological pH, which moiety is selected from the group consisting of –COOH, $-SO_3H$, $-SO_2H$, $-P(O)(OR^6)(OH)$, $-OP(O)(OR^6)(OH)$, $-OSO_3H$ and the like, and where R^6 is selected from the group consisting of alkyl, substituted alkyl, aryl and substituted aryl.

19. The compound according to Claim 18 wherein X is S^x-G-, and wherein S^x is of the following structure:

$$-T_t-U_u-V_v-D^*$$

wherein:

T is $-[C(O)-(CR^{65}R^{66})_g-(CR^{67}R^{68})_h-NR^{69}]-$;

U is $-[C(O)-(CR^{70}R^{71})_m-(CR^{72}R^{73})_n-NR^{74}]-$;

V is $-[C(O)-(CR^{75}R^{76})_o-(CR^{77}R^{78})_p-NR^{79}]-$;

g, h, m, n, o and p are independently 0 or 1, where at least one of g and h is 1; at least one of m and n is 1; at least one of o and p is 1;

t, u and v are independently 0 or 1, where at least one of t, u and v is 1;

R^{65} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{65} and R^{66} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R^{65} and R^{67} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{66} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{67} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{67} and R^{68} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{68} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{69} is hydrogen or R^{69} and R^{68} together with the atoms to which they are attached form a heterocyclyl ring;

R^{70} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{70} and R^{71} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R^{70} and R^{72} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{71} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{72} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{72} and R^{73} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{73} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{74} is hydrogen or R^{74} and R^{73} together with the atoms to which they are attached form a heterocyclyl ring;

R^{75} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{75} and R^{76} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R^{75} and R^{77} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{76} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{77} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl

or R^{77} and R^{78} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{78} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl; and

R^{79} is hydrogen or R^{79} and R^{78} together with the atoms to which they are attached form a heterocyclyl ring;

wherein the bond between V_v and D* and any amino acid to which it is attached is an amide bond.

20. The compound according to Claim 18, wherein R^1 and R^2 are both α-OH; R^1 is β-OH and R^2 is hydrogen; R^1 is α-OH and R^2 is hydrogen; R^1 is hydrogen and R^2 is α-OH; R^1 is β-OH and R^2 is α-OH; or R^1 and R^2 are both hydrogen.

21. The compound according to Claim 19, wherein T, U and V are moieties derived from naturally occurring α-amino acids.

22. The compound according to Claim 19, wherein h, m, n, o, p, u and v are 0, and wherein g and t are 1.

23. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a compound according to Claims 1, 10 or 18.